555,712

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
18 November 2004 (18.11.2004)

PCT

(10) International Publication Number
WO 2004/099135 A2

(51) International Patent Classification[7]: C07D 207/00

(21) International Application Number: PCT/EP2004/050753

(22) International Filing Date: 10 May 2004 (10.05.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0310593.9 8 May 2003 (08.05.2003) GB

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(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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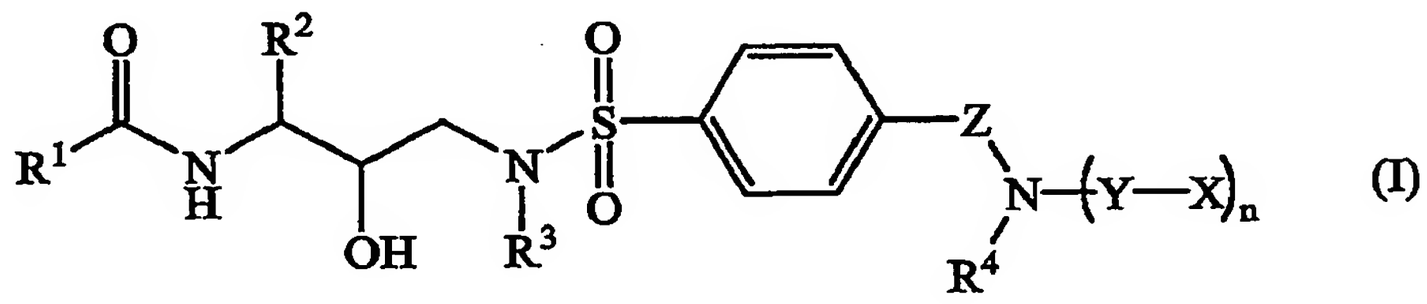
(54) Title: HIV PRODRUGS CLEAVABLE BY CD26



(I)

(57) **Abstract:** The present invention provides new prodrugs which are conjugates of a therapeutic compound and a peptide wherein the conjugate is cleavable by dipeptidyl-peptidases, more preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). The present prodrugs have the formula (I), the stereoisomeric forms and salts thereof, wherein n is I to 5; Y is proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thioproline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine and threonine; X is selected from any amino acid in the D- or L-configuration; X and Y in each repeat of [Y-X] are chosen independently from one another and independently from other repeats; Z is a direct bond or a bivalent straight or branched saturated hydrocarbon group having from 1 to 4 carbon atoms; R^1 is an aryl, heteroaryl, aryloxy, heteroaryloxy, aryloxyC_{1-4}alkyl, heterocycloalkyloxy, heterocycloalkylC_{1-4}akloxy, heteroaryloxyC_{1-4}alkyl, heteroarylC_{1-4}alkyloxy; R^2 is arylC_{1-4}alkyl; R^3 is C_{1-10}alkyl, C_{2-6}alkenyl or C_{3-7}cycloalkylC_{1-4}alkyl; R^4 is hydrogen or C_{1-4}alkyl. The present invention furthermore provides the use of said prodrugs as medicines as well as a method of producing said prodrugs.

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IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

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— *of inventorship (Rule 4.17(iv)) for US only*

Published:

— *without international search report and to be republished upon receipt of that report*

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